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- (57) Claim

1. A canine anthelmintic preparation having activity against ascarids, hookworms, whipworms and heartworms comprising abamectin at a dosage rate of between 5 and 15  $\mu$ g per kilogram of animal body weight in combination with an active chosen from a group comprising benzimidazoles and pro-benzimidazoles.
2. A canine anthelmintic preparation in accordance with claim 1 hereof wherein the benzimidazole is oxibendazole.

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COMPLETE SPECIFICATION  
FOR A STANDARD PATENT  
ORIGINAL

TO BE COMPLETED BY APPLICANT

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Invention Title: CANINE ANTHELMINTIC PREPARATION

Details of Associated Provisional Application No: PN2903 10 May 1995

The following statement is a full description of this invention, including the best  
method of performing it known to me:-

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## CANINE ANTHELMINTIC PREPARATION

The present invention relates to a pharmaceutical composition having broad spectrum activity against heartworm and gastrointestinal infestations in dogs and is centred around an observed synergistic effect of abamectin in combination with benzimidazoles or pro-benzimidazoles when administered orally.

Abamectin is composed of at least eighty percent avermectin B1a and no more than twenty percent of avermectin B1b.

Prior art references to the use of avermectin B1a in relation to heartworm do not indicate efficacy against heartworm below 50 micrograms per kilogram of animal body weight ( $\mu\text{g/kg}$ ). The activity of abamectin on hookworms has not been properly investigated and there is no published data indicating full efficacy in the 10  $\mu\text{g/kg}$  - 15  $\mu\text{g/kg}$  range.

Oxibendazole, methyl[5-(n-propoxy)-1H-benzimidazol-2-yl]carbamate, has been used in combination with diethylcarbamazine. It is known that diethylcarbamazine is active against hookworms and consequently in such prior art studies the oxibendazole in the absence of diethylcarbamazine is not proven to be effective against hookworms especially when given as a single administration as opposed to a series of daily administrations. Benzimidazole resistance is known to be a problem with reference to hookworms.

It is accordingly an object of the present invention to provide a broad spectrum worming preparation for dogs which utilises a synergistic effect observed for the administration of abamectin in dosages of less than 15  $\mu\text{g}$  per kilogram of animal body weight in combination with oxbendazole.

According to the present invention there is disclosed a canine anthelmintic preparation having activity against ascarids, hookworms, whipworms and heartworms comprising abamectin at a dosage rate of between 5 and 15  $\mu\text{g}$  per kilogram of animal body weight in combination with a benzimidazole or pro-benzimidazole.

The present invention additionally discloses a canine anthelmintic preparation having activity against ascarids, hookworms, whipworms and heartworms comprising abamectin at a dosage rate of between 5 and 15  $\mu\text{g}$  per kilogram of animal body weight in combination with oxbendazole at between 15 and 30 mg per kilogram of animal body weight.

The present invention additionally discloses a canine anthelmintic preparation comprising a synergistic dose of abamectin in combination a benzimidazole or pro-benzimidazole as above described further including praziquantel at a dosage rate of between 3 and 10 mg per kilogram of animal body weight in order to increase the spectrum of activity of the preparation to include tapeworms.

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One embodiment of a preparation in accordance with the present invention will now be described hereafter.

Trials to date have involved an anthelmintic preparation administered orally to dogs comprising 10 µg/kg abamectin, 22.5 mg/kg oxbendazole and 5 mg/kg praziquantel.

The resulting preparation is presented as a palatable tablet in chewable form for ease of administration. The preparation has also been found to be compatible with oral (systemic) flea treatments containing insect growth regulators.

#### EXAMPLE:

One example of a formulation for a palatable tablet in chewable form as abovementioned in accordance with the present invention would be as follows:

Ingredient	% (w/w)
Water	52.67
Polyoxyethylene sorbitan mono-oleate (Tween 80)	1.00
Potassium sorbate	0.18
Methylparaben	0.15
Gelatine	25.00
Praziquantel	1.67
Oxbendazole	7.50

Abamectin	0.33
(1.13% in monopropylene glycol)	
Desiccated liver powder	7.50
(or equivalent flavouring agent)	
Caramel	1.00
Glycerol	2.00
Carrageenan	1.00

Administration of preparations as above described once each six weeks have proved effective which compares favourably with currently commercially available heartworm preventative products which require administration monthly.

The parasites which are controlled by preparations in accordance with the present invention include the following nematodes; ascarids (*Toxocara canis*, *Toxascaris leonina*), hookworms (*Ancylostoma caninum*, *Ancylostoma braziliense*, *Uncinaria stenocephala*) and whipworms (*Trichuris vulpis*). Activity against tapeworms has also been established including Taeniidae (*Taenia* spp, *Echinococcus granulosus*) and Dilepididae (*Dipylidium caninum*). Heartworm (*Dirofilaria immitis*) is also within the spectrum of activity. The activity of the preparation is however not necessarily limited to the aforementioned parasites.

It will be noted that the above example utilises oxibenzimidazole however other benzimidazoles and pro-benzimidazoles have been found to benefit from a similar

synergistic effect when combined with abamectins such that the effective abamectin dosage may be lowered. Where benzimidazoles or pro-benzimidazoles other than oxibendazole are utilised an effective dosage rate in combination with a dosage of abamectin of between 5 and 15  $\mu\text{g}$  per kilogram of animal body weight has been found to be between 10 and 100 mg per kilogram of animal body weight.

Further clinical field trials are presently being undertaken although it is evident from test results to date that the present invention discloses a broad spectrum product having significant advantages over currently available canine anthelmintic preparations particularly having regard to the unexpected activity of the abamectin and oxibendazole in the indicated ranges.



The claims defining the invention are as follows:

1. A canine anthelmintic preparation having activity against ascarids, hookworms, whipworms and heartworms comprising abamectin at a dosage rate of between 5 and 15  $\mu$ g per kilogram of animal body weight in combination with an active chosen from a group comprising benzimidazoles and pro-benzimidazoles.
2. A canine anthelmintic preparation in accordance with claim 1 hereof wherein the benzimidazole is oxibendazole.
3. A canine anthelmintic preparation in accordance with claim 2 hereof wherein the oxibendazole is included in the preparation at a dosage rate of between 15 and 30 mg per kilogram of animal body weight.
4. A canine anthelmintic preparation in accordance with any one of the preceding claims wherein praziquantel is included as a further active at a dosage rate of between 3 and 10 mg per kilogram of animal body weight.
5. A canine anthelmintic preparation in accordance with claim 1 hereof wherein the active chosen from a group comprising benzimidazoles and pro-benzimidazoles is present at a dosage rate of between 10 and 100 mg per kilogram of animal body weight.

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6. A canine anthelmintic preparation in accordance with any one of the preceding claims wherein the abamectin dosage rate falls between 7 and 12 mg per kilogram of animal body weight.
7. A canine anthelmintic preparation in accordance with any one of the preceding claims when supplied in tablet form for oral administration.

DATED this 6th day of May 1996

VIRBAC (AUSTRALIA) PTY LIMITED

by their Patent Attorneys

BARKER BLENKINSHIP & ASSOCIATES

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## ABSTRACT

A broad spectrum-worming preparation for dogs including as actives abamectin at a dosage rate of between 5 and 15 mg per kilogram of animal body weight in combination with a further active chosen from a group including benzimidazoles and pro-benzimidazoles.

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